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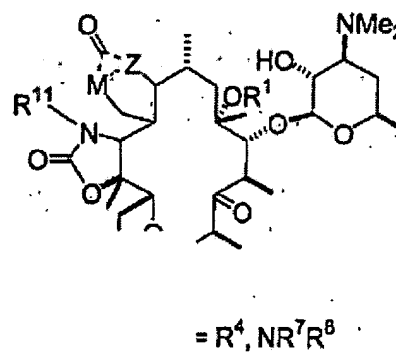
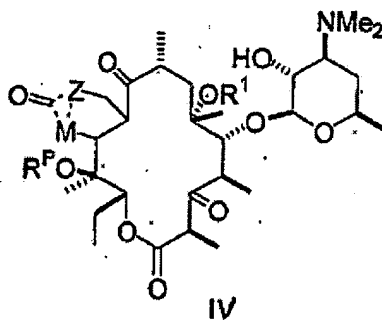
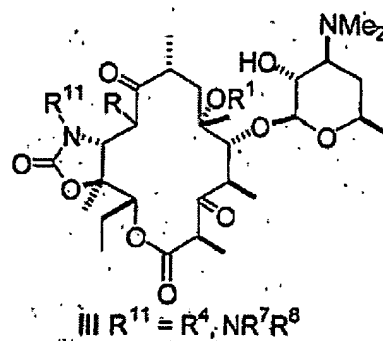
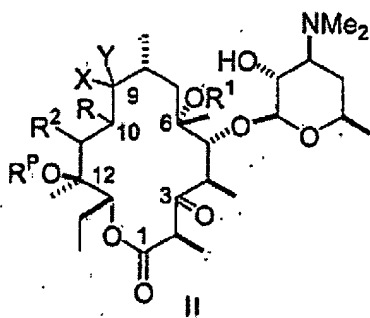
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IN THE CLAIMS:

1. (Currently Amended) A 10-desmethyl macrolide comprising a 10-position substituent, wherein the 10-desmethyl macrolide comprises a saturated $C_{13}ON_x$ lactone ring substituted by one or more sugars, having no CH_3 at the 10-position carbon, and wherein x is 0 or 1.
2. (Currently Amended) The 10-desmethyl macrolides of claim 1, wherein the 10-position substituent comprises a carbon which is attached to the 10-position carbon of the macrolide lactone ring.
3. (Currently Amended) The 10-desmethyl macrolide of claim 1, wherein the 10-position substituent is methylene, substituted methyl, or CHO.
4. (Currently Amended) The 10-desmethyl macrolide of claim 3, wherein the 10-position substituent comprises an aryl group.
5. (Currently Amended) The macrolide of claim 1, wherein the macrolide is substituted in the 2-position by methyl and hydrogen or fluorine; in the 3-position by oxo or optionally substituted hydroxy; in the 4-position by methyl; in the 5-position by an oxygen-attached desosamine; in the 6-position by methyl and an optionally substituted hydroxyl; in the 8-position by methyl and hydrogen or fluorine; in the 9-position by oxo; in the 10-position by methylene, CHO, substituted methyl, or carboxy or substituted carboxy; in the 11- and 12-positions by a group forming a fused ring at the 11, 12 and optionally 10-positions; at the 12-position additionally by a methyl group; and at the 13-position by an ethyl group.

6. Macrolides as claimed in claim 1 of formula II, III, IV or V



- (1) R is methyl substituted with one or more substituents selected from the group consisting of
- (i) CN,
 - (ii) F,
 - (iii) CO_2R^3 wherein R^3 is selected from hydrogen, C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroaryl substituted C_1 - C_3 -alkyl,
 - (iv) OR^4 wherein R^4 is selected from hydrogen, C_1 - C_4 -alkyl or aryl substituted C_1 - C_4 -alkyl, or heteroaryl substituted C_1 - C_4 -alkyl, heterocycloalkyl and optionally substituted cycloalkyl, C_1 - C_3 -alkoxy- C_1 - C_3 -alkoxy, C_2 - C_4 -alkenyl or aryl substituted C_2 - C_4 -alkenyl, or heteroaryl substituted C_2 - C_4 -alkenyl, heterocycloalkyl and optionally substituted cycloalkyl, aryl or optionally substituted aryl, heteroaryl or optionally substituted heteroaryl,
 - (v) $\text{S(O)}_n\text{R}^3$ wherein $n = 0, 1$ or 2 and R^3 is as previously defined
 - (vi) $\text{NR}^4\text{C(O)R}^3$ wherein R^3 and R^4 are as previously defined
 - (vii) $\text{NR}^4\text{C(O)NR}^5\text{R}^6$ wherein R^4 is defined as defined previously, and R^5 and R^6 are independently selected from hydrogen, C_1 - C_3 -alkyl, C_1 - C_3 alkyl substituted with aryl, substituted aryl, heteroaryl, substituted heteroaryl
 - (viii) NR^7R^8 wherein R^7 and R^8 are independently selected from the group consisting of
 - (a) hydrogen
 - (b) C_1 - C_{12} -alkyl, and optionally substituted C_1 - C_{12} -alkyl
 - (c) C_2 - C_{12} -alkenyl, and optionally substituted C_2 - C_{12} -alkenyl
 - (d) C_2 - C_{12} -alkynyl, and optionally substituted C_2 - C_{12} -alkynyl
 - (e) aryl, and optionally substituted aryl
 - (f) heteroaryl, and optionally substituted heteroaryl
 - (g) heterocycloalkyl, and optionally substituted heterocycloalkyl
 - (h) C_1 - C_{12} alkyl substituted with aryl, and optionally substituted with substituted aryl
 - (i) C_1 - C_{12} alkyl substituted with heteroaryl, and optionally substituted with substituted heteroaryl
 - (j) C_1 - C_{12} alkyl substituted with heterocycloalkyl, and with optionally substituted heterocycloalkyl, and
 - (k) R^7 and R^8 taken together with the atom to which they are attached from a 3-10-membered heterocycloalkyl ring which may contain one or more additional heteroatoms and may be substituted with one or more substituents independently selected from the group consisting of

- (aa) halogen, hydroxy, C₁-C₃-alkoxy, alkoxy-C₁-C₃- alkoxy, oxo, C₁-C₃-alkyl, aryl and optionally substituted aryl, heteroaryl and optional substituted heteroaryl
- (bb) CO₂R³ wherein R³ is as previously defined, and
- (cc) C(O)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined,
- (ix) aryl, and optionally substituted aryl, and
- (x) heteroaryl, and optionally substituted heteroaryl,
- (2) C₂-C₁₀-alkyl,
- (3) C₂-C₁₀-alkyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR⁴ wherein R⁴ is as defined previously
 - (iii)-CHO,
 - (iv) oxo,
 - (v) NR⁷R⁸ wherein R⁷ and R⁸ are defined as previously
 - (vi) =N-O-R⁴ is wherein R³ is as previously defined
 - (vii)-CN
 - (viii)-S(O)_nR³ wherein n = 0, 1 or 2 and R³ is as previously defined
 - (ix) aryl, and optionally substituted aryl
 - (x) heteroaryl, and optionally substituted heteroaryl
 - (xi) C₃-C₈-cycloalkyl, and optionally substituted C₃-C₈-cycloalkyl
 - (xii) heterocycloalkyl, and optionally substituted heterocycloalkyl
 - (xiii) NR⁴C(O)R³ where R³ and R⁴ are as previously defined
 - (xiv) NR⁴C(O)NR⁵R⁶ wherein R⁴, R⁵ and R⁶ are as previously defined
 - (xv) =N-NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
 - (xvi)=N-R⁴ wherein R⁴ is as previously defined
 - (xvii)=N-NR⁴C(O)R³ wherein R³ and R⁴ are as previously defined, and
 - (xviii)=N-NR⁴C(O)NR⁵R⁶ wherein R⁴, R⁵ and R⁶ are as previously defined,
- (4) C₂-C₁₀-alkenyl,
- (5) C₂-C₁₀-alkenyl substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR⁴ wherein R⁴ is as previously defined
 - (iii) O-S(O)_nR³ where n and R³ are as previously defined
 - (iv)-CHO,
 - (v) oxo,

- (vi)-CO₂R³ where R³ is as previously defined
- (vii)-C(O)-R⁴ where R⁴ is as previously defined
- (viii) -CN
- (ix) aryl, and optionally substituted aryl
- (x) heteroaryl, and optionally substituted heteroaryl
- (xi) C₃-C₇-cycloalkyl
- (xii) C₁-C₁₂-alkyl substituted with heteroaryl
- (xiii)NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
- (xiv) NR⁴C(O)R³ where R³ and R⁴ are as previously defined
- (xv) NR⁴C(O)NR⁵R⁶ where R⁴, R⁵ and R⁶ are as previously defined
- (xvi) =N-O-R⁴ where R⁴ is as previously defined
- (xvii)=N-NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
- (xviii) =N-NR⁴ wherein R⁴ is as previously defined
- (xix)=N-NR⁴C(O)R³ wherein R³ and R⁴ are as previously defined, and
- (xx)=N-NR⁴C(O)NR⁵R⁶ wherein R⁴, R⁵ and R⁶ are as previously defined,
- (6) C₂-C₁₀-alkynyl
- (7) C₂-C₁₀-alkynyl substituted with one or more substituents selected from the group consisting of
 - (i) trialkylsilyl
 - (ii) halogen,
 - (iii) -CN
 - (iv) OR⁴ where R⁴ is defined as previously
 - (v)-CHO,
 - (vi) oxo,
 - (vii)-CO₂R³ where R³ is as previously defined
 - (viii)-C(O)NR⁵R⁶ wherein R⁵ and R⁶ are as previously defined
 - (ix)NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined
 - (x) O-S(O)_nR³ where n and R³ are as previously defined
 - (xi) C₃-C₇-cycloalkyl
 - (xii) C₁-C₁₂-alkyl substituted with heteroaryl
 - (xiii)aryl, and optionally substituted aryl
 - (xiv) heteroaryl, and optionally substituted heteroaryl
 - (xv) NR⁴C(O)R³ where R³ and R⁴ are as previously defined
 - (xvi) NR⁴C(O)NR⁵R⁶ where R⁴, R⁵ and R⁶ are as previously defined
 - (xvii) =N-O-R⁴ where R⁴ is as previously defined
 - (xviii)=N-NR⁷R⁸ wherein R⁷ and R⁸ are as previously defined

(xix) = $\text{N-NR}^4\text{C(O)R}^3$ wherein R^3 and R^4 are as previously defined, and

(xx) = $\text{N-NR}^4\text{C(O)NR}^5\text{R}^6$ wherein R^4 , R^5 and R^6 are as previously defined,

(8) cyclic substituents

(i) aryl, and optionally substituted aryl

(ii) heteroaryl, and optionally substituted heteroaryl

(iii) heterocycloalkyl, and optionally substituted heterocycloalkyl, and

(iv) C_3 - C_7 -cycloalkyl, and optionally substituted C_3 - C_7 -cycloalkyl, and

(9) C_1 substituents with the exception of 10-methyl derivatives which are part of the above definitions under (1)

(i) -CHO

(ii) -CN

(iii) CO_2R^3 wherein R^3 is as previously defined

(iv) $\text{C(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined

(v) $\text{C(S)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined

(vi) $\text{C(NR}^4\text{)NR}^5\text{R}^6$ wherein R^4 , R^5 and R^6 are as previously defined

(vii) CH=N-O-R^4 wherein R^4 is as previously defined

(viii) CH=N-R^4 is wherein R^4 is as previously defined

(ix) $\text{CH=N-NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined

(x) $\text{CH=N-NR}^4\text{C(O)R}^3$ wherein R^3 and R^4 are as previously defined, and

(xi) $\text{CH=N-NR}^4\text{C(O)NR}^5\text{R}^6$ wherein R^4 , R^5 and R^6 are as previously defined;

R^1 is selected from the group consisting of

(1) H

(2) methyl

(3) methyl substituted with one or more substituents selected from the group consisting of

(i) F

(ii) -CN

(iii) CO_2R^{11} where R^{11} is C_1 - C_3 -alkyl or aryl substituted C_1 - C_3 -alkyl, or heteroalkyl substituted C_1 - C_3 -alkyl

(iv) $\text{C(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are defined as previously

(v) aryl, and optionally substituted aryl, and

(vi) heteroaryl, and optionally substituted heteroaryl

(4) C_2 - C_{10} -alkyl

(5) substituted C_2 - C_{10} -alkyl with one or more substituents selected from the group consisting of

(i) halogen,

- (ii) OR^4 where R^4 is defined as previously
- (iii) $\text{C}_1\text{-C}_3\text{-alkoxy-C}_1\text{-C}_3\text{-alkoxy}$
- (iv)-CHO
- (v) oxo
- (vi) NR^7R^8 wherein R^7 and R^8 are as previously defined
- (vii) $=\text{N-O-R}^4$ where R^4 is as previously defined
- (viii) -CN
- (ix) $-\text{S(O)}_n\text{R}^3$ where $n = 0, 1, \text{ or } 2$ and R^3 is as previously defined
- (x)aryl, and optionally substituted aryl
- (xi) heteroaryl, and optionally substituted heteroaryl
- (xii) $\text{C}_3\text{-C}_8\text{-cycloalkyl}$, and optionally substituted $\text{C}_3\text{-C}_8\text{-cycloalkyl}$
- (xiii) $\text{C}_1\text{-C}_{12}\text{-alkyl}$ substituted with heteroaryl, and optionally substituted heteroaryl
- (xiv) heterocycloalkyl
- (xv) NHC(O)R^3 where R^3 is as previously defined
- (xvi) $\text{NHC(O)NR}^5\text{R}^6$ where R^5 and R^6 are as previously defined
- (xvii) $=\text{N-NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined
- (xviii) $=\text{N-R}^4$ wherein R^4 as previously defined, and
- (xix) $=\text{N-NHC(O)R}^3$ wherein R^3 is as previously defined,
- (4) $\text{C}_1\text{-C}_{10}\text{-alkenyl}$ substituted with one or more substituents selected from the group consisting of
 - (i) halogen,
 - (ii) OR^4 where R^4 is as previously defined
 - (iii)-CHO
 - (iv) oxo
 - (v) $-\text{S(O)}_n\text{R}^3$ where n and R^3 are as previously defined
 - (vi) -CN
 - (vii) $-\text{CO}_2\text{R}^3$ where R^3 is as previously defined
 - (viii) NR^7R^8 wherein R^7 and R^8 are as previously defined
 - (ix) $=\text{N-O-R}^4$ where R^4 is as previously defined
 - (x) $-\text{C(O)-R}^4$ where R^4 is as previously defined
 - (xi) $-\text{C(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined
 - (xii)aryl, and optionally substituted aryl
 - (xiii) heteroaryl, and optionally substituted heteroaryl
 - (xiv) $\text{C}_3\text{-C}_7\text{-cycloalkyl}$
 - (xv) $\text{C}_1\text{-C}_{12}\text{-alkyl}$ substituted with heteroaryl
 - (xvi) NHC(O)R^3 where R^3 is as previously defined

- (xvii) $\text{NHC(O)NR}^5\text{R}^6$ where R^5 and R^6 are as previously defined
 (xviii) $=\text{N-NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined
 (xix) $=\text{N-R}^4$ wherein R^4 is as previously defined,
 (xx) $=\text{N-NHC(O)R}^3$ wherein R^3 is as previously defined, and
 (xxi) $=\text{N-NHC(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined,

(5) $\text{C}_2\text{-C}_{10}$ -alkynyl, and

(6) $\text{C}_2\text{-C}_{10}$ -alkynyl substituted with one or more substituents selected from the group consisting of

(i) halogen,

(ii) OR^4 where R^4 is defined as previously

(iii)-CHO

(iv) oxo

(v) $-\text{CO}_2\text{R}^3$ where R^3 is as previously defined

(vi) $-\text{C(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined

(vii) -CN

(viii) NR^7R^8 wherein R^7 and R^8 are as previously defined

(ix) $=\text{N-O-R}^4$ where R^4 is as previously defined

(x) $-\text{S(O)}_n\text{R}^3$ where n and R^3 are as previously defined

(xi) aryl, and optionally substituted aryl

(xii) heteroaryl, and optionally substituted heteroaryl

(xiii) $\text{C}_3\text{-C}_7$ -cycloalkyl

(xiv) $\text{C}_1\text{-C}_{12}$ -alkyl substituted with heteroaryl

(xv) NHC(O)R^3 where R^3 is as previously defined

(xvi) $\text{NHC(O)NR}^5\text{R}^6$ where R^5 and R^6 are as previously defined

(xvii) $=\text{N-NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined

(xviii) $=\text{N-R}^4$ wherein R^4 is as previously defined

(xix) $=\text{N-NHC(O)R}^3$ wherein R^3 is as previously defined, and

(xx) $=\text{N-NHC(O)NR}^5\text{R}^6$ wherein R^5 and R^6 are as previously defined;

R^2 is selected from the group consisting of

(1) hydrogen

(2) OH

(3) OR^3 where R^3 is as previously defined

(4) OC(O)R^3 where R^3 is as previously defined, and

(5) O(CO)OR^3 where R^3 is as previously defined;

and X and Y taken together are selected from the group consisting of

(1) O

- (2) NOR^4 wherein R^4 is as defined previously
- (3) $\text{N-O C(R}^9\text{)(CR}^{10}\text{)-O-R}^4$ where R^4 is as previously defined and
 - (i) R^9 and R^{10} are each independently defined as R^4 , or
 - (ii) R^9 and R^{10} are taken together with the atom to which they are attached form a $\text{C}_3\text{-C}_{12}$ cycloalkyl ring,
- (4) NR^4 wherein R^4 is as previously defined, and
- (5) $\text{N-NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined, or one of X and Y is hydrogen and the other is selected from the group consisting of
 - (1) $-\text{OR}^4$ wherein R^4 is as previously defined, and
 - (2) $-\text{NR}^7\text{R}^8$ wherein R^7 and R^8 are as previously defined.

R^P is selected from the group consisting of

- (1) hydrogen
- (2) R^3 as previously defined
- (3) COR^3 where R^3 is as previously defined;

subject to the proviso that when the structure is IV, Z and M are part of a five- or six- membered ring, said rings optionally being fully or partially unsaturated; for the six- membered ring, the bonding between Z and M is through a carbonyl group; for the five- membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or N; and when $\text{M} = \text{N}$ a second bridge may exist between this nitrogen and the oxygen of the 12-OH group whereby either an additional annulated oxazole or oxazine ring constitutes part of the molecule; and subject to the proviso that when the structure is V, Z and M are part of a five- or six- membered ring, said rings optionally being fully saturated or fully or partially unsaturated; for the six-membered ring, the bonding between Z and M is through a carbonyl group; for the five-membered ring, the bonding is directly between Z and M excluding CO; Z and M are independently selected from the group consisting of carbon, oxygen or nitrogen; and when $\text{M} = \text{N}$ a second bridge may exist between this nitrogen and the urethane nitrogen.

7. (Currently Amended) A pharmaceutical composition comprising an antibiotic 10-desmethyl macrolide of claim 1 and a pharmaceutical excipient.

8. (Cancelled)

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9. (Currently Amended) A method of treatment of a human or animal subject to combat bacterial infection thereof, which method comprises administering to said subject an antibiotic 10-desmethyl macrolide of claim 1.

10. (Currently Amended) A 6-protected-hydroxy-10-acetyloxymethyl-10,11-unsaturated macrolide analog.